chain bonds :

7-12 8-10 10-11 10-29 12-13 13-26 15-16 15-19 16-17 20-21

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-12 8-9 10-11 10-29 12-13 13-26 15-16 15-19 16-17 20-21

exact bonds :

8-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:Cb,Ak

G2:H,Cb,Cy,Ak,Hy

G3:[*1],[*2]

G4:0,N,OH,Me0,Et0,n-Pr0,i-Pr0,n-Bu0,i-Bu0,s-Bu0,t-Bu0,Ph0,NH,NH2,NH3

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

21:CLASS 26:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1

STR

G1 Cb, Ak

G2 H, Cb, Cy, Ak, Hy

G3 [@1], [@2]

G4 O, N, OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, PhO, NH, NH2, NH3

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 12:32:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1782 TO ITERATE

```
ANSWER 1 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
```

892127-72-9 REGISTRY RN

Entered STN: 12 Jul 2006

Thieno[3,2-h]quinoline-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

3-[(tert-Butoxycarbonyl)methoxy]thieno[3,2-h]quinoline-2-carboxylic acid methyl ester

C19 H19 N O5 S

STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

RN 881033-93-8 REGISTRY Entered STN: 19 Apr 2006 Naphtho[1,2-b] thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME) OTHER NAMES: 3-[(Ethoxycarbonyl)methoxy]naphtho[1,2-b]thiophene-2-carboxylic acid methyl ester

ANSWER 3 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN

C18 H16 O5 S SR CA STN Files: CA, CAPLUS, CASREACT, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 2 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN L2 892127-68-3 REGISTRY

Entered STN: 12 Jul 2006

Thieno[3,2-h]quinoline-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA INDEX NAME) OTHER NAMES:

CN 3-Carboxymethoxythieno[3,2-h]quinoline-2-carboxylic acid

MF C14 H9 N O5 S

LC

SR CA

STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Entered STN: 19 Apr 2006.

Benzo[b] thiophene-2-carboxylic acid, 6-(chlorocarbonyl)-3-(2-methoxy-2oxoethoxy) -, methyl aster (9CI) (CA INDEX NAME)

C14 H11 C1 06 5

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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ANSWER 5 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
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001033-59-6 REGISTRY

Entered STN: 19 Apr 2006

Naphtho[1,2-b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA INDEX NAME) OTHER NAMES:

3-Carboxymethoxynaphtho[1,2-b]thiophene-2-carboxylic acid

C15 H10 O5 S SR

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 7 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN 863906-26-7 REGISTRY
- RN Entered STN: 26 Sep 2005
- Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-(2-oxo-2-(1-piperidinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME) OTHER NAMES:
- 3-[(Methoxycarbonyl)methoxy]-6-[2-oxo-2-(piperidin-1-CN yl)ethyl]benzo[b]thiophene-2-carboxylic acid methyl ester
- C20 H23 N 06 S
- SR STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT ** .

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN

863962-82-7 REGISTRY Entered STN: 26 Sep 2005 ED

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4-

methoxyphenyl) - (9CI) (CA INDEX NAME)

C18 H14 O6 S

CI COM SR CA

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT.

ANSWER 8 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN

863906-24-5 REGISTRY Entered STN: 26 Sep 2005

Benzo(b) thiophene-2-carboxylic acid, 6-(2,2-dibromoethenyl)-3-(2-methoxy-2oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 6-(2,2-Dibromovinyl)-3-((methoxycarbonyl)methoxy]benzo(b)thiophene-2carboxylic acid methyl ester

C15 H12 Br2 O5 S SR

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT'*

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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ANSWER 9 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
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863906-22-3 REGISTRY

Entered STN: 26 Sep 2005

Benzo[b] thiophene-2-carboxylic acid, 6-formyl-3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME) OTHER NAMES:

6-Formy1-3-{(methoxycarbony1)methoxy]benzo(b)thiophene-2-carboxylic acid methyl ester

C14 H12 06 S MF

STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 10 OF 126 REGISTRY COPYRIGHT 2007 ACS on STN
- 863906-20-1 REGISTRY

Entered STN: 26 Sep 2005

Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[2-oxo-2-(1piperidinyl)ethyl] - (9CI) (CA INDEX NAME)

3-Carboxymethoxy-6-[2-oxo-2-(piperidin-1-yl)ethyl]benzo[b]thiophene-2carboxylic acid

C18 H19 N 06 S

- SR. CA
- LÇ STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE) => file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

191.60

191.81

FULL ESTIMATED COST

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FILE COVERS 1907 - 16 Jul 2007 VOL 147 ISS 4 FILE LAST UPDATED: 15 Jul 2007 (20070715/ED)

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=> s 12

L3 15 L2

=> d l3 1-15 ibib abs hitstr

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

2006:606298 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

145:83301 Preparation of tricyclic fused thiophene derivatives as protein tyrosine phosphatase 1B (PTP1B) modulators Lee, Jinbor Smith, Michael J., Moretto, Alessandro

Fabio: Wan, Zhao-Kui: Binnun, Eva Deanna: Xu, Weixin: Foreman, Kenneth W.; Joseph-McCarthy, Diane M.; Erbe, David V., Tam, Steve Y.

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 45 pp. CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

INVENTOR(S):

Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2006135488 A1 20060622 US 2005-285223 20051122 PRIORITY APPLN. INFO.: P 20041124 US 2004-630623P OTHER SOURCE(S): MARPAT 145:83301

GΙ

The title compds. [1; X = each (un) substituted CH2 or NH, S; Y = each (un) substituted CH2 or NH, a bond; provided that when X is S, Y is a bond, and further provided that X and Y are not simultaneously (un) substituted NH; ring C = each (un) substituted fused C6-16 aryl or fused heteroaryl, fused C3-10 cycloalkyl, fused C3-10 cycloalkenyl, fused heterocyclyl, or fused heterocycloalkenyl; R1 = each (un)substituted C6-16 aryl or heteroaryl, C3-16 cycloalkyl or halocycloalkyl, C3-10 cycloalkenyl, heterocyclyl, heterocycloalkenyl, CONH2, or CO2H, cyano, etc.; w = 0, S; Z, Z' = (un) substituted NH, S, SO, SO2, C1-12 alkylene, C2-12 alkenylene, C2-12 alkynylene, O(C1-12 alkylene), O(C2-12 alkenylene), O(C2-12 alkynylene), NH(C1-12 alkylene), NH(C2-12 alkenylene), NH(C2-12 alkynylene), S(C1-12 alkylene), S(C2-12 alkenylene), S(C2-12 alkynylene), 50(C2-12 alkylene), SO(C2-12 alkenylene), SO(C2-12 alkynylene), SO2(C1-12 alkylene), SO2(C2-12 alkenylene), or SO2(C2-12 alkynylene); R2 = H, (un) substituted C1-20 alkyl optionally inserted with from 1-10 heteroatoms selected from N, O, and S, C1-20 haloalkyl, C3-20 cycloalkyl, C3-20 halocycloalkyl, C3-20 cycloalkenyl, heterocyclyl, heterocycloalkenyl, C2-20 alkenyl or C2-20 alkynyl, etc.] or salts thereof are prepared These compds. such as thieno[3,2-b][1]benzothiene, naphtho[1,2-b]thiophene, and thieno[3,2-c]quinoline derivs. modulate (e.g., inhibit) protein tyrosine phosphatase 1B (PTP1B) (no data) and are useful for treating type 2 diabetes, obesity, or metabolic disorders, or for increasing insulin sensitivity. Thus, cyclocondensation of Me thioglycolate with

ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

892127-68-3 CAPLUS Thieno[3,2-h]quinoline-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 2-chlorobenzo(b) thiophene-3-carboxylic acid Me ester in the presence of sodium tert-butoxide in DMF gave 61% 1-hydroxy-3,8dithiacyclopenta[a]indene-2-carboxylic acid Me ester which underwent etherification with tert-Bu bromoacetate in the presence of sodium tert-butoxide in DMF at 60° for 16 h (86% yield) followed by sapon. using LiOH in aq. THF and acidification with 1 N HCl to give 92% 3,8-dithiacyclopenta[a]indene-2-carboxylic acid (thieno[3,2b)[1]benzothiene) deriv. (II).

881033-93-8P, 3-[(Ethoxycarbonyl)methoxy]naphtho[1,2-b]thiophene-2carboxylic acid methyl ester 892127-72-9P, 3-[(tert-Butoxycarbonyl) methoxy] thieno[3,2-h]quinoline-2-carboxylic acid methyl RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate, preparation of tricyclic fused thiophene derivs, as

protein

tyrosine phosphatase 1B (PTP1B) modulators)

881033-93-8 CAPLUS RN

Naphtho[1,2-b]thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN . 892127-72-9 CAPLUS

Thieno[3,2-h]quinoline-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

881033-59-6P, 3-Carboxymethoxynaphtho[1,2-b]thiophene-2-carboxylic acid 892127-68-3P, 3-Carboxymethoxythieno[3,2-h]quinoline-2carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic.preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of tricyclic fused thiophene derivs, as protein tyrosine phosphatase IB (PTP1B) modulators)

881033-59-6 CAPLUS

Naphtho[1,2-b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA

L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:156930 CAPLUS

DOCUMENT NUMBER:

TITLE:

Bicyclic and tricyclic thiophenes as protein tyrosine phosphatase 1B inhibitors AUTHOR (5): Moretto, A. F.; Kirincich, S. J.; Xu, W. X.; Smith, M.

J.; Wan, 2.-K.; Wilson, D. P.; Follow E.; Joseph-McCarthy, D.; Foreman, K.; Erbe, D. V.;

Zhang, Y. L.; Tam, S. K.; Tam, S. Y.; Lee, J. CORPORATE SOURCE: Chemical and Screening Science, Wyeth Research,

Cambridge, MA, 02140, USA SOURCE:

Bicorganic & Medicinal Chemistry (2006), 14(7), 2162-2177

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier B.V. DOCUMENT TYPE:

Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:343066

AB A novel pyridothiophene inhibitor of PTPlB was discovered by rational screening of phosphotyrosine mimics at high micromolar concns. The potency of this lead compound has been improved significantly by medicinal chemical guided by x-ray crystallog, and mol. modeling. Excellent consistency has been observed between structure-activity relationships and structural information from PTP1B-inhibitor complexes.

IT 863904-15-8P, 3-Carboxymethoxy-6-chlorobenzo[b]thiophene-2-

carboxylic acid 863904-19-2P, 6-Bromo-3carboxymethoxybenzo(b) thiophene-2-carboxylic acid 863904-27-2P. 3-(1-Carboxyethoxy)-6-chlorobenzo[b]thiophene-2-carboxylic acid 863904-31-8P, 3-(Carboxyfluoromethoxy)-6-chlorobenzo[b]thiophene-2carboxylic acid 863904-47-6P, 3-Carboxymethoxy-6-

phenylbenzo[b] thiophene-2-carboxylic acid 863904-59-0P,

3-Carboxymethoxy-6-thiophen-2-ylbenzo[b]thiophene-2-carboxylic acid 863904-63-6P, 3-Carboxymethoxy-6-(4-hydroxyphenyl)benzo(b)thiophen e-2-carboxylic acid 863905-86-6P, 3-Carboxymethoxy-6-(5-methyl-1phenyl-1H-pyrazol-3-ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid 863906-09-6P, 3-Carboxymethoxy-7-chlorobenzo[b]thiophene-2carboxylic acid 863906-15-4P, 3-Carboxymethoxy-7-

methylbenzo[b]thiophene-2-carboxylic acid 881033-59-6P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation): USES (Uses) (bicyclic and tricyclic thiophenes as protein tyrosine phosphatase 18 inhibitors)

863904-15-8 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-chloro- (9CI) (CA INDEX NAME)

863904-19-2 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 6-bromo-3-(carboxymethoxy) - (9CI) (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C-CH2-0

863904-27-2 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(1-carboxyethoxy)-6-chloro- (9CI) (CA INDEX NAME)

HO2C-CH-

863904-31-8 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-(carboxyfluoromethoxy)-6-chloro-(9CI) (CA INDEX NAME)

863904-47-6 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-phenyl- (9CI) (CA INDEX NAME)

HO2C-CH2-

863904-59-0 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(2-thienyl)-(9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

HO2C-CH2-CO2H

881033-59-6 CAPLUS

Naphtho[1,2-b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA INDEX NAME)

HO2C-CH2-0

58108-07-9P 148761-41-5P 863904-17-0P, 3-tert-Butoxycarbonylmethoxy-6-chlorobenzo[b]thiophene-2-carboxylic acid methyl ester 863904-29-4P, 6-Chloro-3-(ethoxycarbonylfluoromethoxy)benzo[b]thiophene-2-carboxylic acid methyl ester 863904-49-8P, 3-tert-Butoxycarbonylmethoxy-6phenylbenzo[b]thiophene-2-carboxylic acid methyl ester 863904-61-4P, 3-tert-Butoxycarbonylmethoxy-6-thiophen-2ylbenzo[b]thiophene-2-carboxylic acid methyl ester 863904-65-8P, 3-tert-Butoxycarbonylmethoxy-6-(4-hydroxyphenyl)benzo[b]thiophene-2carboxylic acid methyl ester 863905-54-8P 863905-58-2P 863905-89-9P, 3-Methoxycarbonylmethoxy-6-(5-methyl-1-phenyl-1Hpyrazol-3-ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863906-13-2P, 7-Chloro-3-methoxycarbonylmethoxybenzo[b]thiophene-2carboxylic acid methyl ester 863906-18-7P, 3-Methoxycarbonylmethoxy-7-methylbenzo[b]thiophene-2-carboxylic acid methyl ester 881033-90-5P 881033-93-8P RL: PRP (Properties): RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (bicyclic and tricyclic thiophenes as protein tyrosine phosphatase 1B inhibitors)

58108-07-9 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, methyl

ester (9CI) (CA INDEX NAME)

148761-41-5 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA:INDEX

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

o-сн2-со2н

863904-63-6 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4hydroxyphenyl) - (9CI) (CA INDEX NAME)

о— сн2— со2н

863905-86-6 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(5-methyl-1phenyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-7-chloro- (9CI) (CA INDEX NAME).

H02C-CH2-

863906-15-4 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-(carboxymethoxy)-7-methyl- (9C1) (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) NAME)

o-сн2-со2н

863904-17-0 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 6-chloro-3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

863904-29-4 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 6-chloro-3-(2-ethoxy-1-fluoro-2оноеthому) -, methyl ester (9CI) (CA INDEX NAME)

863904-49-8 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-6-phenyl-, methyl ester (9CI) (CA INDEX NAME)

t-Bu0-C-CH2-

863904-61-4 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-Oxoethoxy]-6-(2-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

863904-65-8 CAPLUS

Benzo(b)thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-6-(4-hydroxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

(Continued)

863905-54-8 CAPLUS

Benzo[b] thiophene-2, 6-dicarboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, 6-(1,1-dimethylethyl) 2-methyl ester (9CI) (CA INDEX NAME)

863905-58-2 CAPLUS

Benzo(b) thiophene-2, 6-dicarboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, 2-methyl ester (9CI) (CA INDEX NAME)

863905-89-9 CAPLUS RN

Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(5methyl-1-phenyl-1H-pyrazol-3-yl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN Naphtho[1,2-b]thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

IT 863904-23-8P 863904-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(bicyclic and tricyclic thiophenes as protein tyrosine phosphatase 1B inhibitors)

863904-23-8 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 6-bromo-3-(2-ethoxy-2-oxoethoxy)-,

methyl ester (9CI) (CA INDEX NAME)

863904-25-0 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 6-chloro-3-(2-methoxy-1-methyl-2oxoethoxy) -, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

863906-13-2 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 7-chloro-3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863906-18-7 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-7-methyl-, methyl ester (9CI) (CA INDEX NAME)

881033-90-5 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 6-(chlorocarbonyl)-3-(2-methoxy-2oxoethoxy) -, methyl ester (9CI) (CA INDEX NAME)

001033-93-0 CAPLUS

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

2005:983964 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:266909

TITLE:

Preparation of bicyclic fused thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes

and related diseases

Lee, Jinbo: Kirincich, Steve J.: Smith, Michael J.:

Wilson, Douglas P., Follows, Bruce C., Wan, Zhao-Kui; Joseph-Mccarthy, Diane M.; Erbe, David V.; Zhang,

Yan-Ling: Xu, Weixin: Tam, Steve Y.

PATENT ASSIGNEE(S): Wyeth, USA SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

	PAT	PENT	NO.								APPL:	CAT	ION	NO.		D.	ATE		
		2005									WO 20	005-	US 57	22		2	0050	223	
	WO	2005	0819	60		A3 20060330													
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW.	BY.	BZ.	CA.	CH.	
			CN,	CO,	CR,	CU,	C2,	DE,	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	PI.	GB.	GD.	
•			GE.	GH.	GM.	HR.	HU.	ID,	IL.	IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	IC.	
			LK,	LR.	LS.	LT.	LU.	LV,	MA.	MD.	MG.	MK.	MN.	MW:	MX.	M2.	NA.	NI.	
•			NO.	NZ.	OM.	PG.	PH.	PL,	PT.	RO.	RU.	SC.	SD.	SE.	SG.	SK.	SI.	SM	
			SY.	TJ.	TM.	TN.	TR.	TT,	TZ.	UA.	UG.	US.	112.	VC.	VN	YII	71	7M	74
		RW:	BW.	GH.	GM.	KE.	LS.	MV,	M2.	NA.	SD.	SL.	52.	T2	iic.	7M	7U	AM	
			A2.	BY.	KG.	K2.	MD.	RU,	T.I.	TM.	AT.	BE.	BG,	CH,	CV.	C7	DE,	חול	
			EE.	ES.	FI.	FR.	GB.	GR,	HII	I F	īs,	IT.	IT	111	MC.	NI	DE,	DT.	
								BF,											
						TD,		D. ,	Δ0,	Qr,	CO,	41,	G-1,	OA,	Git,	υQ,	Gw,	aL,	
	211	2005						2005	015		116 20	۱ ۸ ۲ _ ۱	6470	n		2	0060	333	
		APP						2000			US 20								

11

The invention provides a method for using a protein tyrosine phosphatase (PTPase) inhibitor (especially an inhibitor of PTPase 1B) described by the formula (I) {R1 = CO2H and derivs., 5- to 6-membered heterocyclyl, H, halo, CN, CONH2 and derive., R2 = CN, CO2H and derive., CONH2 and derive., etc.; X = (un) substituted alk(en/yn) ylene, O-alkylene, S(O) n-alkylene, etc.; n = 0-2; Y, Z, U, T, A = independently CH and derivs., N, S, O; one or two of Y, Z, U, T, A can be absent; each R3 = independently H, CN, OH, NH2, NO2, (un) substituted aryl, 5- to 8-membered heterocyclyl, alk(en/yn)yl, etc.] or a pharmaceutically acceptable salt or prodrug thereof for the treatment of diabetes, obesity, autoimmune diseases, etc. (no data). The invention also provides the preparation of fused thiophenes

For example, II was prepared, in 47% yield, by cyclization of

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 2-chloro-6-methylnicotinic acid Me ester with mercaptoacetic acid Me ester, O-alkylation with bromoscetic acid tert-Bu ester and

Boc-deprotection.

863904-25-0P, 6-Chloro-3-(1-methoxycarbonylethoxy) benzo[b] thiophen e-2-carboxylic acid methyl ester 863904-29-4P, 6-Chloro-3-((ethoxycarbonyl)(fluoro)methoxy]benzo[b] thiophene-2-carboxylic acid methyl ester 863904-33-0P, [(2-Carbamoyl-6-chlorobenzo[b] thiophen-3-yl) oxy] acetic acid tert-butyl ester RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of bicyclic fused thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related

diseases)
1 863904-25-0 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 6-chloro-3-(2-methoxy-1-methyl-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 863904-29-4 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 6-chloro-3-(2-ethoxy-1-fluoro-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

Oxodenoxy) -, metny: ester (SCI) (CA INDEX NAME)

RN 863904-33-0 CAPLUS

CN Acetic acid, [[2-(aminocarbonyl)-6-chlorobenzo(b]thien-3-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 863904-15-8P, 3-Carboxymethoxy-6-chlorobenzo[b] thiophene-2-

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
863906-09-6P, 3-Carboxymethoxy-7-chlorobenzo[b]thiophene-2carboxylic acid 863906-15-4P, 3-Carboxymethoxy-7methylbenzo[b]thiophene-2-carboxylic acid 863906-20-1P,
3-Carboxymethoxy-6-[2-oxo-2-(piperidin-1-yl)ethyl]benzo[b]thiophene-2carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; prepn. of bicyclic fused thiophene inhibitors of

(drug candidate; prepn. of bicyclic fused thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related diseases)

RN 863904-15-8 CAPLUS

CN Benzo(b)thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-chloro- (9CI) (CA INDEX NAME)

RN 863904-19-2 CAPLUS

EN Benzo[b]thiophene-2-carboxylic acid, 6-bromo-3-(carboxymethoxy)- (9CI)
(CA INDEX NAME)

RN 863904-27-2 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(1-carboxyethoxy)-6-chloro- (9CI) (CA INDEX NAME)

RN 863904-31-8 CAPLUS

CN Benzo(b) thiophene-2-carboxylic acid, 3-(carboxyfluoromethoxy)-6-chloro-

(9CI) (CA INDEX NAME)

carboxylic acid 863904-19-2P, 6-Bromo-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863904-27-2P , 3-(1-Carboxyethoxy)-6-chlorobenzo[b]thiophene-2-carboxylic acid 863904-31-8P, 3-(Carboxyfluoromethoxy)-6-chlorobenzo[b]thiophene-2carboxylic acid 863904-35-2P, 3-(Carbamoylmethoxy)-6chlorobenzo[b] thiophene-2-carboxamide 863904-37-4P, [(2-Carbamoyl-6-chlorobenzo(b)thiophen-3-yl)oxy] acetic acid 863904-39-6P, 3-Carboxymethoxy-5-chlorobenzo[b]thiophene-2,6dicarboxylic acid 6-benzyl ester 863904-45-4P, 3-Carboxymethoxy-5-chlorobenzo[b]thiophene-2,6-dicarboxylic acid 863904-47-6P, 3-Carboxymethoxy-6-phenylbenzo(b)thiophene-2carboxylic acid 863904-51-2P, 6-Benzyl-3-(carboxymethoxy) benzo[b] thiophene-2-carboxylic acid 863904-55-6P 3-Carboxymethoxy-6-(thiophen-3-yl)benzo[b]thiophene-2-carboxylic acid 863904-59-0P, 3-Carboxymethoxy-6-(thiophen-2-yl)benzo(b)thiophene-2-carboxylic acid 863904-63-6P, 3-Carboxymethoxy-6-(4hydroxyphenyl}benzo[b]thiophene-2-carboxylic acid 863904-67-0P, 3-Carboxymethoxy-6-(3-hydroxyphenyl)benzo[b]thiophene-2-carboxylic acid 863904-71-6P, 3-Carboxymethoxy-6-(4-nitrophenyl)benzo(b)thiophene-2-carboxylic acid 863904-75-0P, 6-(4-Aminophenyl)-3-(carboxymethoxy) benzo(b) thiophene-2-carboxylic acid 863904-79-4P 6-(3-Aminophenyl)-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863904-83-0P, 3-Carboxymethoxy-6-(4-dimethylaminophenyl)benzo[b]th iophene-2-carboxylic acid 863904-87-4P, 3-Carboxymethoxy-6-(4cyanophenyl) benzo[b] thiophene-2-carboxylic acid 863904-91-0P, 3-Carboxymethoxy-6-(2-methoxyphenyl)benzo(b)thiophene-2-carboxylic acid 863904-95-4P, 3-Carboxymethoxy-6-phenylaminobenzo(b)thiophene-2carboxylic acid 863904-99-8P, 3-Carboxymethoxy-6-(naphthalen-1yl) benzo[b] thiophene-2-carboxylic acid 863905-03-7P. 3-Carboxymethoxy-6-(4-methoxyphenyl)benzo[b]thiophene-2-carboxylic acid dilithio salt 863905-07-1P, 3-Carboxymethoxy-6-[3-(formyl) thiophen-2-yl]benzo[b] thiophene-2-carboxylic acid 863905-12-0P, 3-Carboxymethoxy-6-[3-(hydroxymethyl)thiophen-2yl]benzo(b)thiophene-2-carboxylic acid 863905-20-8P, 6-Benzyloxy-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863905-35-5P, 6-Acety1-3-(carboxymethoxy)benzo(b)thiophene-2carboxylic acid 863905-39-9P, 3-Carboxymethoxy-6-(1hydroxyiminoethyl)benzo[b]thiophens-2-carboxylic acid 863905-43-5P , 3-Carboxymethoxy-6-(3-fluorophenyl)benzo[b]thiophene-2-carboxylic acid 863905-45-7P, 3-Carboxymethoxy-6-(2-fluorophenyl)benzo[b]thiophene-2-carboxylic acid 863905-49-1P, 3-Carboxymethoxybenzo[b]thiophen e-2,6-dicarboxylic acid 6-tert-butyl ester 863905-56-0P, 6-Carbamoy1-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863905-62-8P, 3-Carboxymethoxy-6-(dimethylcarbamoyl)benzo(b)thioph ene-2-carboxylic acid 863905-66-2P, 6-(Benzylcarbamoyl)-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863905-70-8P , 6- (Benzylmethylcarbamoyl) -3- (carboxymethoxy) benzo[b] thiophene-2carboxylic acid 863905-74-2P, 3-Carboxymethoxy-6-(2-methyl-5phenyl-2H-pyrazol-3-ylcarbamoyl) benzo(b) thiophene-2-carboxylic acid 863905-78-6P, 3-Carboxymethoxy-6-(3-methylisothiazol-5ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid 863905-82-2P 3-Carboxymethoxy-6-(thiazol-2-ylcarbamoyl)benzo(b)thiophene-2-carboxylic acid 863905-86-6P, 3-Carboxymethoxy-6-[(5-methyl-1-phenyl-1Hpyrazol-3-yl) carbamoyl) benzo[b] thiophene-2-carboxylic acid 863905-91-3P, 3-Carboxymethoxy-6-(3-methylisoxazol-5ylcarbamoyl) benzo[b] thiophene-2-carboxylic acid 863905-95-7P, 6-{(tert-Butoxycarbonyl)amino}-3-(carboxymethoxy)benzo{b}thiophene-2carboxylic acid 863905-99-1P, 6-Benzoylamino-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid 863906-05-2P , 6-(3-Benzylureido)-3-(carboxymethoxy)benzo[b]thiophene-2-carboxylic acid

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863904-35-2 CAPLUS

CN Benzo[b] thiophene-2-carboxamide, 3-(2-amino-2-oxoethoxy)-6-chloro- (9CI) (CA INDEX NAME)

RN 863904-37-4 CAPLUS

CN Acetic acid, [[2-(aminocarbonyl)-6-chlorobenzo[b]thien-3-yl]oxy]- (9CI) (CA INDEX NAME)

N 863904-39-6 CAPLUS

EN Benzo(b) thiophene-2,6-dicarboxylic acid, 3-(carboxymethoxy)-5-chloro-, 6-(phenylmethyl) ester (9CI) (CA INDEX NAME)

N · 863904-45-4 CAPLUS

CN Benzo[b]thiophene-2,6-dicarboxylic acid, 3-(carboxymethoxy)-5-chloro-(9CI) (CA INDEX NAME) L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863904-47-6 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-phenyl- (9CI)
(CA INDEX NAME)

RN 863904-51-2 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(phenylmethyl)-(9CI) (CA INDEX NAME)

RN 863904-55-6 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(3-thienyl)-(9Cl) (CA INDEX NAME)

RN 863904-59-0 CAPLUS

N · Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(2-thienyl)(9CI) (CA INDEX NAME)

RN 863904-63-6 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4-

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863904-83-0 CAPLUS

CN Benzo[b] thiophena-2-carboxylic acid, 3-(carboxymethoxy)-6-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 863904-87-4 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4-cyanophenyl)-

RN 863904-91-0 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 863904-95-4 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(phenylamino)(9CI) (CA INDEX NAME)

RN 863904-99-8 CAPLUS

N Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(1-naphthalenyl)(9CI) (CA INDEX NAME) .

(Continued)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydroxyphenyl) - (9CI) (CA INDEX NAME)

RN 863904-67-0 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 863904-71-6 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4-nitrophenyl)(9CI) (CA INDEX NAME)

RN 863904-75-0 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 6-(4-aminophenyl)-3-(carboxymethoxy)(9CI) (CA INDEX NAME)

RN -863904-79-4 CAPLUS

PN Benzo[b]thiophene-2-carboxylic acid, 6-(3-aminophenyl)-3-(carboxymethoxy)-(9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863905-03-7 CAPLUS

CN Benzo(b)thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(4-methoxyphenyl)-, dilithium salt (9CI) (CA INDEX NAME)

●2 Li

N 863905-07-1 CAPLUS

CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(3-formyl-2-thienyl)- (9CI) (CA INDEX NAME)

RN 863905-12-8 CAPLUS

CN Benzo(b) thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(3-(hydroxymethyl)-2-thienyl)- (9CI) (CA INDEX NAME)

N 863905-20-8 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(phenylmethoxy)(9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863905-35-5 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-acetyl-3-(carboxymethoxy)- (9CI)
(CA INDEX NAME)

RN 863905-39-9 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[1-(hydroxyimino)ethyl]- (9CI) (CA INDEX NAME)

RN 863905-43-5 CAPLUS
CN' Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(3-fluorophenyl)(9CI) (CA INDEX NAME)

RN 863905-45-7 CAPLUS
CN Benzo(b) thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-(2-fluorophenyl)(9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863905-74-2 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(1-methyl-3-phenyl-1H-pyrazol-5-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-78-6 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(3-methyl-5-isothiazolyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-82-2 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[(2-thiazolylamino)carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-86-6 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(5-methyl-1-phenyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) RN 863905-49-1 CAPLUS

CN Benzo[b] thiophene-2, 6-dicarboxylic acid, 3-(carboxymethoxy)-, 6-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 863905-56-0 CAPLUS
CN Benzo(b)thiophene-2-carboxylic acid, 6-(aminocarbonyl)-3-(carboxymethoxy)(9CI) (CA INDEX NAME)

RN 863905-62-8 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6[(dimethylamino)carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-66-2 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6[[(phenylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-70-8 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(2-phenylethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863905-91-3 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-{{(3-methyl-5-isoxazolyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 863905-95-7 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 863905-99-1 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 6-(benzoylamino)-3-(carboxymethoxy)(9CI) (CA INDEX NAME)

RN 863906-05-2 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6[[[(phenylmethyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863906-09-6 CAPLUS

CN Benzo[b]thiophene-2-carboxylic acid, 3-(carboxymethoxy)-7-chloro- (9CI) (CA INDEX NAME)

863906-15-4 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(carboxymethoxy)-7-methyl- (9CI) (CA INDEX NAME)

HO2C-CH2-

863906-20-1 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-(carboxymethoxy)-6-{2-oxo-2-(1piperidinyl) ethyl] - (9CI) (CA INDEX NAME)

863904-17-0P, 3-[(tert-Butoxycarbonyl)methoxy]-6chlorobenzo[b]thiophene-2-carboxylic acid methyl ester 863904-23-8P, 6-Bromo-3-[(ethoxycarbonyl)methoxy]benzo(b]thiophene-2-carboxylic acid methyl ester 863904-43-2P, 5-Chloro-3-[(ethoxycarbonyl)methoxy]benzo[b]thiophene-2,6-dicarboxylic acid 6-benzyl ester 2-methyl ester 863904-49-8P, 3-[(tert-Butoxycarbonyl)methoxy]-6-phenylbenzo[b]thiophene-2-carboxylic acid methyl ester 863904-53-4P, 6-Benzyl-3-[(tertbutoxycarbonyl) methoxy] benzo[b] thiophene-2-carboxylic acid methyl ester 863904-57-8P, 3-[(tert-Butoxycarbonyl)methoxy]-6-(thiophen-3yl)benzo[b]thiophene-2-carboxylic acid methyl ester 863904-61-4P , 3-[(tert-Butoxycarbonyl)methoxy]-6-(thiophen-2-yl)benzo(b)thiophene-2carboxylic acid methyl ester 863904-65-8P, 3-[[tert-Butoxycarbonyl) methoxy] -6- (4-hydroxyphenyl) benzo[b] thiophene-2-carboxylic acid methyl ester 863904-69-2P, 3-[(tert-Butoxycarbonyl)methoxy]-6-(3-hydroxyphenyl)benzo(b)thiophene-2-carboxylic acid methyl ester 863904-73-8P, 3-[(Ethoxycarbonyl)methoxy]-6-(4nitrophenyl)benzo[b]thiophene-2-carboxylic acid methyl ester

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) zo[b]thiophene-2-carboxylic acid methyl ester 863906-26-7P, 3-[(Methoxycarbonyl)methoxy]-6-[2-oxo-2-(piperidin-1yl)ethyl]benzo[b]thiophene-2-carboxylic acid methyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of bicyclic fused thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related diseases) 863904-17-0 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 6-chloro-3-[2-(1,1-dimethylethoxy)-2ожоеthожу) -, methyl ester (9CI) (CA INDEX NAME)

863904-23-8 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 6-bromo-3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863904-43-2 CAPLUS

Benzo[b] thiophene-2, 6-dicarboxylic acid, 5-chloro-3-(2-ethoxy-2-oxoethoxy)-

, 2-methyl 6-(phenylmethyl) ester (9CI) (CA INDEX NAME)

863904-49-8 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-

Oxoethoxy]-6-phenyl-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN 863904-77-2P, 6-(4-Aminophenyl)-3-[(ethoxycarbonyl)methoxy]benzo[b | thiophene-2-carboxylic acid methyl ester 863904-81-8P, 6-(3-Aminophenyl)-3-[(tert-butoxycarbonyl)methoxy]benzo[b]thiophene-2carboxylic acid methyl ester 863904-85-2P, 6-(4-Dimethylaminophenyl) -3-[(ethoxycarbonyl)methoxy]benzo[b]thiophene-2carboxylic acid methyl ester 863904-89-6P, 3-[(tert-Butoxycarbonyl) methoxy] -6- (4-cyanophenyl) benzo[b] thiophene-2-carboxylic acid methyl ester 863904-93-2P, 3-[(Ethoxycarbonyl)methoxy]-6-(2methoxyphenyl)benzo(b)thiophene-2-carboxylic acid methyl ester 863904-97-6P, 3-[(tert-Butoxycarbony1)methoxy]-6phenylaminobenzo(b)thiophene-2-carboxylic acid methyl ester 863905-01-5P, 3-[(tert-Butoxycarbonyl)methoxy]-6-(naphthalen-1yl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-05-9P , 3-[(tert-Butoxycarbonyl)methoxy]-6-(4-methoxyphenyl)benzo[b]thiophene-2carboxylic acid methyl ester 863905-10-6P, 3-[(Ethoxycarbonyl)methoxy]-6-[3-(formyl)thiophen-2-yl]benzo[b]thiophene-2carboxylic acid methyl ester 863905-14-0P, 3-[(Ethoxycarbonyl)methoxy]-6-[3-(hydroxymethyl)thiophen-2yl]benzo[b]thiophene-2-carboxylic acid methyl ester 863905-33-3P , 6-Benzyloxy-3-[(tert-butoxycarbonyl)methoxy]benzo[b]thiophene-2carboxylic acid tert-butyl ester 863905-37-7P, 6-Acetyl-3-{(tert-butoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863905-41-3P, 3-[(tert-Butoxycarbonyl)methoxy]-6-(1-hydroxyiminoethyl)banzo[b]thiophene-2-carboxylic acid methyl ester 863905-47-9P, 3-[(tert-Butoxycarbonyl)methoxy]-6-(2fluorophenyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-54-8P, 3-[(Methoxycarbonyl)methoxylbenzo[b]thiophene-2,6dicarboxylic acid 6-tert-butyl ester 2-methyl ester 863905-58-2P , 3-[(Methoxycarbonyl)methoxy]benzo[b]thiophene-2,6-dicarboxylic acid 2-methyl ester 863905-60-6P, 6-Carbamoy1-3-[(methoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863905-64-0P, 6-(Dimethylcarbamoyl)-3-[(methoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863905-68-4P, 6-(Benzylcarbamoy1)-3-[(methoxycarbony1)methoxy]benz o[b] thiophene-2-carboxylic acid methyl ester 863905-72-0P, 6- (Benzylmethylcarbamoyl) -3- (methoxycarbonylmethoxy) benzo[b] thiophene-2carboxylic acid methyl ester 863905-76-4P, 3-Methoxycarbonylmethoxy-6-(2-methyl-5-phenyl-2H-pyrazol-3ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-80-0P, 3-Methoxycarbonylmethoxy-6-(3-methylisothiazol-5ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-84-4P, 3-Methoxycarbonylmethoxy-6-(thiazol-2ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-89-9P, 3-{ [Methoxycarbony1] methoxy]-6-[(5-methy1-1-pheny1-1H-pyrazol-3-yl)carbamoyl}benzo(b)thiophene-2-carboxylic acid methyl ester 863905-93-5P, 3-[(Methoxycarbonyl)methoxy]-6-(3-methylisoxazol-5ylcarbamoyl)benzo[b]thiophene-2-carboxylic acid methyl ester 863905-97-9P, 6-{(tert-Butoxycarbony1)amino}-3-[(methoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863906-01-8P, 6-Amino-3-[(methoxycarbonyl)methoxy]benzo[b]thiophen e-2-carboxylic acid methyl ester 863906-03-0P, 6-Benzoylamino-3-[(methoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863906-07-4P, 6-(3-Benzylureido)-3-[(methoxycarbonyl)methoxy]benzo(b]thicphene-2-carboxylic acid methyl ester 863906-13-2P, 7-Chloro-3-[(methoxycarbonyl)methoxy]benzo[b]thiophe ne-2-carboxylic acid methyl ester 863906-18-7P, 3-[(Methoxycarbonyl)methoxy)-7-methylbenzo[b]thiophene-2-carboxylic acid methyl ester 863906-22-3P, 6-Formyl-3-[(methoxycarbonyl)methoxy]benzo[b]thiophene-2-carboxylic acid methyl ester 863906-24-5P, 6-(2,2-Dibromovinyl)-3-[(methoxycarbonyl)methoxy]ben

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863904~53-4 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-6-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

863904-57-8 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-6-(3-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

863904-61-4 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2oxoethoxy]-6-(2-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

863904-65-8 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-{2-{1,1-dimethylethoxy}-2oxoethoxy]-6-(4-hydroxyphenyl)-, methyl ester (9CI) (CA INDEX NAME) RN 863904-69-2 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-6-(3-hydroxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

HO S C-OMe
O-CH₂-C-OBu-t

RN 863904-73-8 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)

O2N C-OMe
O-CH2-C-OEt

RN 863904-77-2 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-(4-aminophenyl)-3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

H₂N C-OMe

RN 863904-81-8 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-(3-aminophenyl)-3-[2-(1,1-dimethylethoxy)-2-oxosthoxy]-, methyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

t-Buo-C-CH₂-O

RN 863905-01-5 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-6-(1-naphthalenyl)-, methyl ester (9CI) (CA INDEX NAME)

C-OMe

O-CH₂-C-OBu-t

RN 863905-05-9 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-6-(4-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

MeO CH3=COBu=t

RN 863905-10-6 CAPLUS
CN Benzo(b)thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-6-(3-formyl-2-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

CHO CH2-C-CET

RN 863905-14-0 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-6-[3-(hydroxymethyl)-2-thienyl]-, methyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

H₂N C-OMe

RN 863904-85-2 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-[4-(dimethylamino)phenyl]-3-(2-ethoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 863904-89-6 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 6-(4-cyanophenyl)-3-(2-(1,1-dimethylethoxy)-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

NC C-OMe

C-CH2, C-OBu-t

RN 863904-93-2 CAPLUS
CN Benzo(b)thiophene-2-carboxylic acid, 3-(2-ethoxy-2-oxoethoxy)-6-(2-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

OME SCHOME

CH2-CH2-CH2

RN 863904-97-6 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-{2-(1,1-dimethylethoxy)-2-oxoethoxy]-6-(phenylamino)-, methyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 863905-33-3 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-{2-(1,1-dimethylethoxy)-2-oxoethoxy}-6-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

t-Buo-C-CH₂-0 C-OBu-t

RN 863905-37-7 CAPLUS
CN Benzo(b)thiophene-2-carboxylic acid, 6-acetyl-3-(2-(1,1-dimethylethoxy)-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 863905-41-3 CAPLUS
CN Benzo[b] thiophene-2-carboxylic acid, 3-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-6-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

HO-N Me-C S C-OMe

RN 863905-47-9 CAPLUS
CN Benzo(b)thiophene-2-carboxylic acid, 3-{2-(1,1-dimethylethoxy)-2-oxoethoxy}-6-(2-fluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

863905-54-8 CAPLUS Benzo[b] thiophene-2, 6-dicarboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, 6-(1,1-dimethylethyl) 2-methyl ester (9CI) (CA INDEX NAME)

863905-58-2 CAPLUS RN Benzo[b] thiophene-2, 6-dicarboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, 2-methyl ester (9CI) (CA INDEX NAME)

863905-60-6 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 6-(aminocarbony1)-3-(2-methoxy-2oxoethoxy) -, methyl ester (9CI) (CA INDEX NAME)

863905-64-0 CAPLUS

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863905-80-0 CAPLUS

Benzo(b)thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxcethoxy)-6-{((3methyl-5-isothiazolyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-84-4 CAPLUS Benzo(b) thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-((2thiazolylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-89-9 CAPLUS Benzo[b]thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(5methyl-1-phenyl-1H-pyrazol-3-yl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-93-5 CAPLUS Benzo(b) thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(3methyl-5-isoxazolyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-97-9 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 6-[[(1,1L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on 5TN (Continued) Benzo[b] thiophene-2-carboxylic acid, 6-[(dimethylamino)carbonyl]-3-(2methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863905-68-4 CAPLUS Benzo(b) thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(phenylmethyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-72-0 CAPLUS Benzo(b) thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(2phenylethyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

863905-76-4 CAPLUS Benzo(b) thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[(1methyl-3-phenyl-1H-pyrazol-5-yl)amino|carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN dimethylethoxy)carbonyl]amino]-3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863906-01-8 CAPLUS Benzo[b]thiophene-2-carboxylic acid, 6-amino-3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863906-03-0 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 6-(benzoylamino)-3-(2-methoxy-2oxoethoxy) -, methyl ester (9CI) (CA INDEX NAME)

863906-07-4 CAPLUS Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[[[(phenylmethyl)amino]carbonyl]amino]-, methyl ester (9C1) (CA INDEX

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863906-13-2 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 7-chloro-3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

863906-18-7 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-7-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 863906-22-3 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 6-formyl-3-(2-methoxy-2-oxoethoxy)-; methyl ester (9CI) (CA INDEX NAME)

863906-24-5 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 6-(2,2-dibromoethenyl)-3-(2-methoxy-2oxoethoxy) -, methyl ester (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2005:453341 CAPLUS DOCUMENT NUMBER: 143:7587 TITLE: Preparation of benzofuran and benzothiophenederivatives as glucose-stimulated insulin secretors useful in the treatment of diabetes and related. diseases Moinet, Gerard: Leriche, Caroline: Kergoat, Micheline INVENTOR(S): PATENT ASSIGNEE(S): Merck Sante, Fr. Fr. Demande, 48 pp.

L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

SOURCE:

CODEN: FRXXBL DOCUMENT TYPE: Patent LANGUAGE: French

PATENT				NT:	1													
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	FR 2862645																	
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JP	2007	5115	52		T		2007	0510		JΡ	2006- 2006- 2006-	5402	15		2	0041	026	
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US	2007	0781	78		A1		2007	0405		ŲS	2006-	5800	33		2	0060	519	
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ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

863906-26-7 CAPLUS RN

Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-6-[2-oxo-2-(1-piperidinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

$$\begin{array}{c|c}
 & R6 & OR^{1} \\
 & R4 & R3
\end{array}$$

Title compds. I [wherein X = O, S; R1 = (un) substituted carboxyslkyl, alkoxyalkyl, arylalkyloxyalkyl, etc.: R2 = OH and derivs., NH2 and derivs., aryloxy, etc.; R3, R4, R5, R6 = independently H, halo, OH, alkyl, alkoxy, CN, CF3, monoalkyl/dialkyl/amino, NO2] were prepared as antidiabetic agents for treating diseases associated with insulin resistance syndrome. For example, II was prepared by reacting thiosalicylic acid with Et bromoacetate, followed by cyclocondensation with 1-bromopinacolone. In an in Vitro test, II, at 10-6 M, displayed a glucose-induced stimulation factor of insulin secretion of 189% at a dose of 8 mM glucose digested by the pancreatic exocrine tissue of rats. Thus, I and their compns. are used for treating hyperglycemia, diabetes, dyslipidemia, obesity, and microvascular and macrovascular complications arising from diabetes. 852318-27-5P, Ethyl 3-[2-(4-Fluorophenoxy)ethoxy]benzo[b]thiophene-

2-carboxylate 852318-29-7P, Ethyl 3-(2-Phenoxyethoxy) benzo[b] thiophene-2-carboxylate 852318-30-0P, Ethyl 3-(2-(4-Cyanophenoxy) ethoxy) benzo[b] thiophene-2-carboxylate 852318-31-1P, Ethyl 3-[2-[4-(2-Methoxycarbonylethyl)phenoxy]ethoxy]benzo(b)thiophene+2-carboxylate 852318-32-2P, Ethyl 3-[2-(Naphthalen-1-yloxy)ethoxy]benzo[b]thiophene-2-carboxylate 852318-33-3P, Ethyl 3-(2-(2-Methoxyphenoxy)ethoxy]benzo(b)thiophen e-2-carboxylate 852318-34-4P, Ethyl 3-[2-[(2,3-Dimethylphenyl)oxylethoxy|benzo[b]thiophene-2-carboxylate 852318-36-6P, Ethyl 3-(2-Hydroxy-3-phenoxypropoxy)benzo(b)thiophen e-2-carboxylate 852318-37-7P, Ethyl 3-(3-Phenoxypropoxy) benzo(b) thiophene-2-carboxylate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

(glucose-stimulated insulin secretor; preparation of benzofuran and

benzothiophene derivs. as antidiabetic agents) 852318-27-5 CAPLUS

II

Benzo(b)thiophene-2-carboxylic acid, 3-{2-(4-fluorophenoxy)ethoxy}-, ethyl ester (9CI) (CA INDEX NAME)

852318-29-7 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(2-phenoxyethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

852318-30-0 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-{2-(4-cyanophenoxy)ethoxy}-, ethyl ester (9CI) (CA INDEX NAME)

852318-31-1 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-[4-(3-methoxy-3oxopropyl)phenoxy]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

852318-32-2 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-[2-(1-naphthalenyloxy)ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

RN 852318-37-7 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(3-phenoxypropoxy)-, ethyl ester CN

(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

052310-33-3 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-[2-(2-methoxyphenoxy) ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

852318-34-4 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-[2-(2,3-dimethylphenoxy]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

852318-36-6 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(2-hydroxy-3-phenoxypropoxy)+, ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1080895 CAPLUS

DOCUMENT NUMBER: 142:56321

TITLE: Preparation of N-tetrazolyl

benzo[b] thiophenecarboxamides as phosphoinositide-3kinase (PI3K) inhibitors for the treatment of cancer,

inflammatory and cardiovascular diseases

Connolly, Mike; Gogliotti, Rocco Dean; Lee, Helen INVENTOR(S):

Tsenwhei: Plummer, Mark Stephen: Sexton, Karen Blaine: Visnick, Melean

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE:

PCT Int. Appl., 57 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PENT						DATE						-			ATE	
WO 2004108713 A1					20041216 WO 2004-IB1783												
						A8 20051201			10 200 101100								
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		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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		AZ,	BY,	XG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE.	DK.
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US 2005020630 20040603 US 2004-860524 A1 20050127 PRIORITY APPLN. INFO.: US 2003-476073P P 20030605 WO 2004-IB1783 W 20040524

OTHER SOURCE(S): MARPAT 142:56321

GΙ

Title compds. I [wherein Rl = (un) substituted cycloalk(en)yl or 4/5/6-membered heterocycloalkyl; R2, R3 = Me or OMe; L = absence or alkylene; with some limitations, and pharmaceutically acceptable salts thereof] were prepared as phosphoinositide-3-kinase (PI3K) inhibitors. For example, benzo[b] thiophenecarboxamide II was synthesized in several steps starting from 3-methoxy-4-methylbenzaldehyde. I were tested in several biol. assays, and showed inhibitory activity against PI3K with IC50 (µM) values of 0.003-2.550 (0.024 for II). Therefore, I and their pharmaceutical compns. are useful in the treatment of diseases and, conditions, including inflammatory diseases, cardiovascular diseases, and cancers.

809281-92-3P 809281-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(preparation of N-tetrazolyl benzo(b)thiophenecarboxamides as phosphoinositide-3-kinase (PI3K) inhibitors)

809281-92-3 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 5,6-dimethoxy-3-[(2methoxyethoxy) methoxy] -, methyl ester (9CI) (CA INDEX NAME)

809281-93-4 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 5,6-dimethoxy-3-[(2methoxyethoxy) methoxy] - (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN 1994:217348 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

120:217348

Synthesis of [1]benzothieno[3,2-b]furan - a new fused

benzoheterocyclic system

Svoboda, Jiri; Nic, Miloslav; Palecek, Jaroslav AUTHOR (S): CORPORATE SOURCE: Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, 166 28, Czech Rep.

SOURCE: Collection of Czechoslovak Chemical Communications

(1993), 58(12), 2983-6

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S):

CASREACT 120:217348

The reaction of Me thiosalicylate with excess Me chloroacetate in the presence of potassium carbonate gives Me 3-[(methoxycarbonyl)methoxy]benzo [b] thiophene-2-carboxylate which on cyclization by action of potassium tert-butoxide gives Me 3-hydroxy[1]benzothieno[3,2-b]furan-2-carboxylate. Its base catalyzed hydrolysis and decarboxylation forms

[1]benzothieno[3,2-b]furan-2(2H)-one whose reduction with NaBH4 gives the title compound, I. IT 58108-07-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of)

58108-07-9 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, methyl ester (9C1) (CA INDEX NAME)

ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1993:472458 CAPLUS DOCUMENT NUMBER: 119:72458 TITLE: Application of magnesium alkoxides to syntheses of benzoheterocyclic compounds AUTHOR(S): Svoboda, Jiri; Nic, Miloslav; Palecek, Jaroslav Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, CORPORATE SOURCE: 166 28, Czech.

Collection of Czechoslovak Chemical Communications SOURCE: (1993), 58(3), 592-9

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

LANGUAGE: English OTHER SOURCE(S): CASREACT 119:72458

The Dieckmann condensations of Me [(2-methoxycarbonyl)phenyl]-X-acetates, 2-MeO2CC6H4XCH2CO2Me (X = O, S, SO2, NH, NMe), initiated by action of magnesium methoxide, ethoxide, isopropoxide and other basic reagents have been studied under various conditions. Whereas magnesium methoxide has comparable efficiency as sodium methoxide and potassium tert-butoxide in syntheses of the benzoheterocyclic compds. I (R1 = H), magnesium ethoxide gives I (R = Et, R1 = H, X = 0) in a medium yield, and magnesium isopropoxide is quite inefficient in the condensation reaction. The alkylation of I (R = Me, R1 = H, X = O, S, NMe) with Me chloroacetate in the presence of sodium hydride in DMF gives I (R1 = CH2CO2Me) which, on action by potassium tert-butoxide, undergo the cyclization reaction to give esters II (X = S, NMe). 58108-07-9P

RL: SPN (Synthetic preparation): PREP (Preparation)

(preparation and Dieckman condensation of) 58108-07-9 CAPLUS

Benzo(b)thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

148761-41-5P RL: SPN (Synthetic preparation): PREP (Preparation)

(preparation of) 148761-41-5 CAPLUS

Benzo(b)thiophene-2-carboxylic acid, 3-(carboxymethoxy)- (9CI) (CA INDEX

ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

115690-79-4 CAPLUS

Butanedioic acid, 2-[[(3-chlorophenyl)amino]carbonyl]-5methylbenzo(b)thien-3-yl ethyl ester (9CI) (CA INDEX NAME)

115690-81-8 CAPLUS Butanedioic acid, ethyl 5-(methylthio)-2-[[(phenylmethyl)amino]carbonyl]be nzo[b] thien-3-yl ester (9CI) (CA INDEX NAME)

115690-99-8 CAPLUS Butanedioic acid, 2-{{[4-(1,1-dimethylethyl)phenyl}amino]carbonyl]-5-CN methylbenzo(b)thien-3-yl ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:473311 CAPLUS DOCUMENT NUMBER: 109:73311

TITLE: Preparation and formulation of 3-

hydroxybenzo[b] thiophene-2-carboxamides as inhibitors of inflammation and other prostaglandin and

leukotriene mediated diseases

Tischler, Allan N.; Durette, Philippe L.; Witzel, INVENTOR(S): Bruce E.; Lanza, Thomas J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Brit. UK Pat. Appl., 20 pp. CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE GB 2193961 19880224 GB 1987-19022 19870811 US 4800211 19890124 US 1986-897576 19860818 PRIORITY APPLN. INFO.: US 1986-897576 A 19860818

OTHER SOURCE(S): CASREACT 109:73311; MARPAT 109:73311

Title compds. I [R = H, 'alkyl, naphthyl, anthryl, cycloalkyl, haloalkyl, (un) substituted Ph, heteroaryl, benzyl, phenylalkenyl, phenylalkynyl, etc.; X1-X4 = R, cyano, NO2, NO, etc.; n = 0-2; R1, R2 = R, except than if R = H, Cl, R1 and R2 independently cannot be mono-, di-, tri-, or chloronitrophenyl; N1R2 = N-heterocyclyl] were prepared To Me 5-chloro-2-mercaptobenzoate in MeOH was added NaOMe followed by N-[(3-chlorophenyl)methyl]chloroacetamide and the mixture refluxed to give I (X1, X3, X4 = H; X2 = C1; R1 = H, R2 = 3-C1C6H4CH2; n = 0). In rat platelet activating factor-induced hyperalgesia, I (X1, X2, X3 = H; X2 = MeS: R, R1 * H: R2 = 3-C1C6H4: n = 0) at 30 mg/kg, orally, gave 90% analgesia.

115690-78-3P 115690-79-4P 115690-81-8P

115690-99-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antiinflammatory)

115690-78-3 CAPLUS

Butanedioic acid; 5-chloro-2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]b enzo(b)thien-3-yl ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:188464 CAPLUS

DOCUMENT NUMBER: 106:188464

TITLE: Studies on the platelet antiaggregating activity of

three new series of thiophenes AUTHOR (S): Fraile, C.; Martin, D. A.

CORPORATE SOURCE: Spain

SOURCE:

Anales de la Real Academia de Farmacia (1985), 51(3), 477-83

CODEN: ARAFAY; ISSN: 0034-0618

DOCUMENT TYPE: Journal

LANGUAGE: Spanish

GI

Sixteen title compds. (I, II, III; R1 = H, CO2H; R2 = iso-Pr, tert-Bu, dimethoxyphenylethyl) were tested for blood platelet aggregationinhibiting activity in vitro with human blood. In general, III with a cyclopentane structure were less active than I and II, with benzene and cyclohexane structures, resp. The presence of a carboxyl group in the 2-position tended to decrease activity.

104236-47-7

RL: BIOL (Biological study) (blood platelet aggregation-inhibiting activity of, structure in relation to)

104236-47-7 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-[2-hydroxy-3-[(1methylethyl)amino]propoxy) - (9CI) (CA INDEX NAME)

ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:526818 CAPLUS

DOCUMENT NUMBER:

105:126818

TITLE: Bicyclic thiophenic derivatives as platelet

aggregation inhibitors AUTHOR (5):

Darias, V.; Bravo, L.; Fraile, C.; Martin-Herrera, D.;

Corral, C.: Lissavetzky, J.

CORPORATE SOURCE: Fac. Farm., Univ. La Laguna, Tenerife, Spain SOURCE: Farmaco, Edizione Scientifica (1986), 41(6), 478-82

CODEN: FRPSAX; ISSN: 0430-0920 DOCUMENT TYPE: Journal

LANGUAGE: English

AB The inhibitory activity of 20 bicyclothiophenes towards ADP-, adrenaline-, or collagen-induced aggregation of human platelets was examined. The activities were compared with those of standard reference compds., aspirin, ticlopidine, trifusal, and sulfinpyrazone. Eight of the tested 20 compds.

had inhibitory activity better than the reference compds. Structure-activity

relations are discussed.

104236-47-7

RL: BIOL (Biological study)

(blood platelet aggregation inhibition by, in human cells) 104236-47-7 CAPLUS

Benzo[b]thiophene-2-carboxylic acid, 3-[2-hydroxy-3-[(1methylethyl)amino]propoxy] - (9CI) (CA INDEX NAME)

ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

85032-77-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 85032-77-5 CAPLUS

Benzo[b] thiophene-2-carboxamide, 3-[2-hydroxy-3-[[2-(1H-indol-3-yl)-1,1-

dimethylethyl]amino]propoxy] - (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN 1983:125870 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 98:125870

Tryptamine derivatives of thienyloxypropanolamines and TITLE:

pharmaceutical compositions containing them INVENTOR (S): Lessenich, Hans: Kunstmann, Rudolf: Lindner, Ernst

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

Eur. Pat. Appl., 38 pp. SOURCE: CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GΙ

	•				
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
EP 65295	A1	19821124	EP 1982-104244		19820514
R: AT, BE, CH,	DE, FR	, GB, IT, L	U, NL, SË		
DE 3119796	A1	19821223	DE 1981-3119796		19810519
ES 512109	A1	19830216	ES 1982-512109		19820512
DK 9202253	A	19821120	DK 1982-2253		19820518
NO 8201651	A	19821122	NO 1982-1651		19820518
AU 8283781	A	19821125	AU 1982-83781		19820518
JP 57193476	A	19821127	JP 1982-82579		19820518
ZA 8203423	A	19830330	ZA 1982-3423		19820518
ES 513576	A1	19830316	ES 1982-513576		19820630
ES 513577	A1	19830316	ES 1982-513577		19820630
ES 513578	A1	19830316	ES 1982-513578		19820630
ES 513579	A1	19830316	ES 1982-513579		19820630
PRIORITY APPLN. INFO.:	:		DE 1981-3119796	A	19810519
OTHER SOURCE(S):	CASREA	CT 98:12587	0; MARPAT 98:125870		

The tryptamines I (R = H, alkyl, Ph; R1, R2, R3 = H, halo, alkyl, alkoxy, alkoxymethyl, CH2OH, (un) substituted Ph, cycloalkyl, cyano, NO2, NH2, CO2H, alkoxycarbonyl, (un) substituted H2NCO: R1R2 = 8-9 membered ring with 1 or 2 S; R4, R5, R6 = H, halo, alkyl, alkoxy, OH, Ph (un) substituted with alkyl or alkoxy or halogen, NO2, NH2, CO2H or alkoxycarbonyl, (un) substituted H2NCO] and their acid salts, useful in treating heart and circulation disorders and as antihypertensives, were prepared Treating 1,1-dimethyl-2-(indol-3-yl)ethylamine in refluxing EtOH with 3-(2,3-epoxypropoxy)-2-thiophenecarboxamide for 3 h gave I (R = R1 = R2 = R2R4 = R5 = R6 = H, R3 = CONH2) (II) which had the same antihypertensive activity as bucindolol, but was significantly less toxic. The LD50 i.v. in mice of II was 74 mg/kg, whereas that of bucindolol was 9.6 mg/kg.

85032-76-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and thermal decarboxylation of)

85032-76-4 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-hydroxy-3-[[2-(1H-indol-3-yl)-1,1-dimethylethyl]amino]propoxy]- (9CI) (CA INDEX NAME)

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:604387 CAPLUS

DOCUMENT NUMBER: 93:204387

TITLE: Analogs of propranolol. Synthesis of

3-alkylamino-1-(3-benzo(b)thienyloxy)-2-propanols AUTHOR (S): Conde, Santiago: Corral, Carlos: Lissavetzky, Jaime CORPORATE SOURCE:

Inst. Quim. Med., Madrid, Spain SOURCE: Journal of Heterocyclic Chemistry (1980), 17(5),

937-40 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 93:204387

The thiophenic isoster of propranolol (I.HCl) and related compds. are prepared by 2 routes. The protecting and enolizing properties of the 2-methoxycarbonyl group on benzo[b]thiophen-3-one, along with its facile removal, are utilized in the first route. In the second one, conversion of 3-bromobenzo(b)thiophene into II is the key step. Hydrolysis of II to the corresponding diol, in order to obtain a furanic isoster of propranolol was unsuccessful.

71572-72-0P 75510-49-5P 75510-50-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (preparation and decarboxylation of)

71572-72-0 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-[2-hydroxy-3-[(1methylethyl) amino] propoxy] -, hydrochloride (9CI) (CA INDEX NAME)

● HC1

75510-49-5 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-[3-[(1,1-dimethylethyl)amino)-2hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Benzo[b] thiophene-2-carboxylic acid, 3-[3-[[2-(3,4dimethoxyphenyl)ethyl]amino]-2-hydroxypropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

75510-46-2P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(preparation and hydrolysis of) 75510-46-2 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-{3-{(1,1-dimethylethyl)amino]-2hydroxypropoxy] -, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

● HCl

L3 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1976:135622 CAPLUS DOCUMENT NUMBER: 84:135622 TITLE: [1]Benzothieno[3, 2-b] furans INVENTOR (S): Beck, James Richard PATENT ASSIGNEE (S): Eli Lilly and Co., USA U. S. Publ. Pat. Appl. B, 4 pp. SOURCE: CODEN: USXXDP DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 574996	15	19760217	US 1975-574996	19750506
US 3989718	A	19761102		
PRIORITY APPLN. INFO.:		•	US 1975-574996 A	19750506

Benzothienofurans I (R = CO2Me, CN, COMe, $R1 = H_1$ R = CO2Me, R1 = Me), useful as bactericides, were obtained by O-alkylation of 3-hydroxybenzo[b]thiophene-2-carboxylates with C1CH2CN, C1CH2COMe, or C1CH2CO2Me followed by cyclization with alkali metal alkoxides.

58108-07-9P RL: SPN (Synthetic preparation): PREP (Preparation)

(preparation of) 58108-07-9 CAPLUS

Benzo{b}thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

their salts

ACCESSION NUMBER: 1979:611256 CAPLUS DOCUMENT NUMBER:

91:211256 TITLE: 3-(2-Hydroxy-3-alkylaminopropoxy) benzothiophenes and

INVENTOR(S): Corral Saleta, Carlos: Lissavetzky Diez, Jaime

PATENT ASSIGNEE(S): Consejo Superior de Investigaciones Cientificas, Spain Span., 7 pp. SOURCE:

CODEN: SPXXAD DOCUMENT TYPE: Patent . LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ------ES 472158 19790316 ES 1978-472158 19780728 A1 PRIORITY APPLN. INFO.: A1 19780728 ES 1978-472158

Title benzothiophenes I [Rn = H, undefined substituents; Rl = CH2CH(OH)CH2NHR2 (Q): R2 = alkyl, aralkyl, aryloxyalkyl: R3 = H] were prepared in several steps from I (Rn = same, R1 = H, R3 = CO2Me), i.e., by (1) etherification with epichlorohydrin in Me2SO containing Me3COK, (2) oxirane ring cleavage-lactamization of I (Rn = same, R1 = 2,3-epoxypropy), R3 = CO2Me) with R2NH2, (3) hydrolysis of II with aqueous-alc. HCl and neutralization with HCl, and (4) thermal decarboxylation of I.HCl (Rn = same, R1 = Q, R3 = CO2H). This procedure was used to prepare I.HCl (Rn = R3 = H, R1 = Q, R2 = Me2CH).

H

71572-72-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and decarboxylation of) 71572-72-0 CAPLUS

Benzo(b) thiophene-2-carboxylic acid, 3-[2-hydroxy-3-[(1methylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HÇ1

L3 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:59261 CAPLUS

DOCUMENT NUMBER: 84:59261

TITLE: [1] Benzothieno[3,2-b] furan derivatives

AUTHOR (S): Beck, James R.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Co., Greenfield, IN,

Journal of Heterocyclic Chemistry (1975), 12(5), SOURCE:

1037-8 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English OTHER SOURCE(S):

CASREACT 84:59261 For diagram(s), see printed CA Issue.

Benzothiophenecarboxylate I (R = H) was 0-alkylated with C1CH2CO2Me, ClCH2CN, and ClCH2COMe in the presence of KOCMe3 to give I (R = CH2CO2He, CH2CN, and CH2COMe), resp. Dieckmann ring closure of I (R = CH2CO2Me and ClCH2CN) with KOCMe3 in C6H6 and of I (R = CH2COMe) with NaOMe in MeOH gave the benzothienofurans II (R1 = H, R2 = CO2Me, cyano, Ac), resp., which were alkylated with Me2SO4 and KOCMe3 in Me2SO to give II (R1 = Me). I (R = H) and MeNCO in refluxing CH2C12 with NEt3 gave the benzothienoxazine III.

58108-07-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and Dieckmann cyclization of, benzothienofurans by)

58108-07-9 CAPLUS

Benzo[b] thiophene-2-carboxylic acid, 3-(2-methoxy-2-oxoethoxy)-, methyl ester (9CI) (CA INDEX NAME)